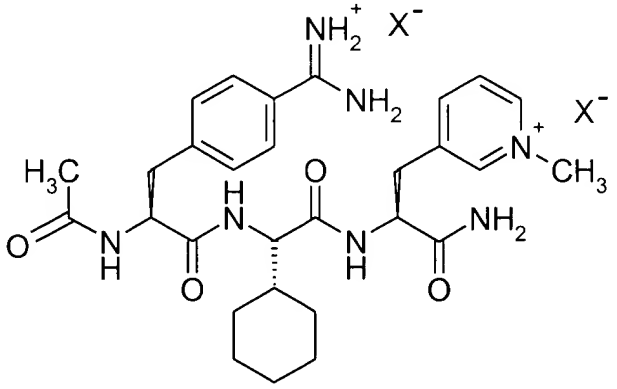
Abstract

The present invention relates to a process for the preparation of acetyl-amidiniophenylalanyl-cyclohexylglycyl-pyridinioalaninamides of the formula I,



I

in which the anions X are physiologically acceptable anions, and their analogs, which are effective inhibitors of the blood coagulation factor Xa and which can be used, for example, for preventing thromboses. The process according to the invention comprises the coupling of 2-[2-acetylamino-3-(4-amidinophenyl)propionylamino]-2-cyclohexylacetic acid, which is obtained from 2-[2-acetylamino-3-(4-cyanophenyl)acryloylamino]-2-cyclohexylacetic acid by asymmetric hydrogenation and conversion of the cyano group into the amidine, or a salt thereof, with a 3-(2-amino-2-carbamoylethyl)-1-methylpyridinium salt or a salt thereof. The invention furthermore provides starting materials and intermediates for this process, processes for their preparation and acetyl-(S)-4-amidiniophenylalanyl-(S)-cyclohexylglycyl-(S)-(1-methyl-3-pyridinio)alaninamide as ditosylate salt.